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New materials and biologically active preparations on the basis of (organilthio) chloroacetylene

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(Organylthio)chloroacetylenes [RSC=CCl, 1], the object of our systematic research, provide a promising source of new classes of polyfunctional compounds of acetylenic and polyheterocyclic series among which biologically active substances, monomers and precursors for the preparation of new materials possessing a whole complex of valuable properties have been recognized. Besides, from a fundamental viewpoint, the reactions of acetylenes 1 with multinucleophiles present an important source of information on some features of the nucleophilic substitution of halogen at the triple bond in the presence of competing nucleophilic centers during attack on the heteroconjugated S-C=C-C system.

Real possibilities for the development of the chemistry and technology of chloroacetylenes 1 are ensured by a new effective and technologically feasible method recently elaborated by us for the preparation of the above compounds from industrially accessible thiols and trichloroethylene.

On the basis of the reactions of acetylcnes 1 with N, N-, N, O-, N, S- and O, S-nucleophiles some novel convenient synthetic approaches have been developed. This allowed the preparation of a large series of organylthio-substituted heterocycles such as amino- 1, 2, 4-triazoles, 1, 4-dihydro-1, 2, 4, 5-tetrazines, imidazoles, 1, 3-diazocines, 1, 3-diazocines, 1, 3-oxazolidinium chlorides, 1, 3-oxazines, 4, 5-dihydro-1, 3-oxazoles, 1,3-thiazoles, 1, 3-oxathiolanes. A new general strategy for the preparation of acetylenic sulfides (RSC=CY) consisting in nucleophilic substitution of the chlorine atom in acetylenes 1 by anionic and uncharged nucleophiles has been proposed. Previously unknown or hardly accessible organylthioethynyl dial-kylamines, -trialkylammonium chlorides, -trialkylphosphonates, -triorganylphosphonium chlorides, as well as bis(organylthio)acetylenes, bis(alkylthio-ethynyl)sulfides, organylthio(organylseleno)acetylenes, O-al-kyl-S-[2 (alkylthio) ethynyl]-dithiocarbonates have been synthesized. Among the above-mentioned compounds it was possible to isolate those very promising for use as semi-conductors, complex-forming agents and monomers for polymeric coatings, as well as a new class biologically active substances exhibited high anti-tumor activity and a clearly-expressed antimicrobial effect.

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